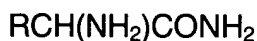


What is claimed is:

1. A composition comprising a molecular complex formed between:  
  
an acidic pharmaceutical drug; and  
  
at least one functional substance selected from the group consisting of  
an alkaline amino acid, an amino acid amide, an amino acid ester, a  
related alkaline amino acid, or combinations thereof.
2. The composition as claimed in claim 1, wherein the functional  
substance is an alkaline amino acid.
3. The composition as claimed in claim 2, wherein the alkaline amino acid  
is selected from the group consisting of arginine, histidine, lysine, ornithine,  
tryptophan, and mixtures thereof, each of which has an extra basic group  
selected from amino, imino and/or guanido groups.
4. The composition as claimed in claim 1, wherein the functional  
substance is an amino acid amide having the following formula:



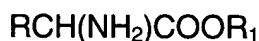
where R is H, an alkyl, aralkyl or aryl group having 1 to 14 carbon atoms, and  
in addition R may carry OH, SH, SCH<sub>3</sub>, NH<sub>2</sub>, CONH<sub>2</sub>, NHCONH<sub>2</sub>,  
NH(C=NH)NH<sub>2</sub>, imidazole, pyrrole or other heterocyclic group, the H attached  
to a carbon atom may be substituted by I, F, Cl, Br, OH or alkoxy group having  
1 to 9 carbons, and wherein the amino acid amides may be isomeric such as  
D, L, or DL or non-isomeric.

5. The composition as claimed in claim 4, wherein the amino acid amide is  
selected from the group consisting of alaninamide,  $\beta$ -alaninamide,  $\gamma$ -  
aminobutanoamide,  $\beta$ -aminoisobutanoamide, argininamide, aspartic diamide,

asparaginamide, citrullinamide, cysteinamide, glycineamide, glutamic diamide, glutaminamide, histidinamide, homocysteinamide, homoserinamide, isoleucinamide, leucinamide, lysinamide, methioninamide, ornithinamide, phenylalaninamide, phenylglycinamide, 4-hydroxyphenylglycinamide, prolinamide, serinamide, threoninamide, tryptophanamide, tyrosinamide, valinamide, and mixtures thereof.

6. The composition as claimed in claim 5, wherein the amino acid amide contains a secondary functional group selected from the group consisting of OH, SH, SCH<sub>3</sub>, CONH<sub>2</sub>, NHCONH<sub>2</sub>, NH(C=NH)NH<sub>2</sub>, imidazole and extra NH<sub>2</sub> or CONH<sub>2</sub> group that can form intermolecular attracting forces with acidic pharmaceutical drugs.

7. The composition as claimed in claim 1, wherein the functional substance is an amino acid ester having the following general formula:



where R is H, an alkyl, aralkyl or aryl group having 1 to 14 carbon atoms; R<sub>1</sub> is an alkyl, aralkyl or aryl group having 1 to 9 carbon atoms; and in addition R may carry OH, SH, SCH<sub>3</sub>, NH<sub>2</sub>, CONH<sub>2</sub>, NHCONH<sub>2</sub>, NH(C=NH)NH<sub>2</sub>, imidazole, pyrrole or other heterocyclic group, the H attached to a carbon atom may be substituted by I, F, Cl, Br, OH or alkoxy group having 1 to 9 carbons, and where the amino acid esters may be isomeric such as D, L, or DL or non-isomeric.

8. The composition as claimed in claim 7, wherein the amino acid ester is selected from the group consisting of:

- (1) methyl alaninate, ethyl alaninate, propyl alaninate and isopropyl alaninate;

- (2) methyl  $\beta$ -alaninate, ethyl  $\beta$ -alaninate, propyl  $\beta$ -alaninate and isopropyl  $\beta$ -alaninate;
- (3) methyl  $\gamma$ -aminobutanoate, ethyl  $\gamma$ -aminobutanoate, propyl  $\gamma$ -aminobutanoate and isopropyl  $\gamma$ -aminobutanoate;
- (4) methyl  $\beta$ -aminoisobutanoate, ethyl  $\beta$ -aminoisobutanoate, propyl  $\beta$ -aminoisobutanoate and isopropyl  $\beta$ -aminoisobutanoate;
- (5) methyl argininate, ethyl argininate, propyl argininate and isopropyl argininate;
- (6) dimethyl aspartate, diethyl aspartate, dipropyl aspartate and diisopropyl aspartate;
- (7) methyl asparaginate, ethyl asparaginate, propyl asparaginate and isopropyl asparaginate;
- (8) methyl citrullinate, ethyl citrullinate, propyl citrullinate and isopropyl citrullinate;
- (9) methyl cysteinate, ethyl cysteinate, propyl cysteinate and isopropyl cysteinate;
- (10) methyl glycinate, ethyl glycinate, propyl glycinate and isopropyl glycinate
- (11) dimethyl glutamate, diethyl glutamate, dipropyl glutamate and diisopropyl glutamate;
- (12) methyl glutaminate, ethyl glutaminate, propyl glutaminate and isopropyl glutaminate;
- (13) methyl histidinate, ethyl histidinate, propyl histidinate and isopropyl histidinate;
- (14) methyl homocysteinate, ethyl homocysteinate, propyl homocysteinate and isopropyl homocysteinate;
- (15) methyl homoserinate, ethyl homoserinate, propyl homoserinate and isopropyl homoserinate;
- (16) methyl isoleucinate, ethyl isoleucinate, propyl isoleucinate and isopropyl isoleucinate;

- (17) methyl leucinate, ethyl leucinate, propyl leucinate and isopropyl leucinate;
  - (18) methyl lysinate, ethyl lysinate, propyl lysinate and isopropyl lysinate;
  - (19) methyl methioninate, ethyl methioninate, propyl methioninate and isopropyl methioninate;
  - (20) methyl omithinate, ethyl omithinate, propyl omithinate and isopropyl omithinate;
  - (21) methyl phenylalaninate, ethyl phenylalaninate, propyl phenylalaninate and isopropyl phenylalaninate;
  - (22) methyl phenylglycinate, ethyl phenylglycinate, propyl phenylglycinate and isopropyl phenylglycinate;
  - (23) methyl 4-hydroxyphenylglycinate, ethyl 4-hydroxyphenylglycinate, propyl 4-hydroxyphenylglycinate and isopropyl 4-hydroxyphenylglycinate;
  - (24) methyl proline, ethyl proline, propyl proline and isopropyl proline;
  - (25) methyl serinate, ethyl serinate, propyl serinate and isopropyl serinate;
  - (26) methyl threoninate, ethyl threoninate, propyl threoninate and isopropyl threoninate;
  - (27) methyl tryptophanate, ethyl tryptophanate, propyl tryptophanate and isopropyl tryptophanate;
  - (28) methyl tyrosinate, ethyl tyrosinate, propyl tyrosinate and isopropyl tyrosinate;
  - (29) methyl valinate, ethyl valinate, propyl valinate and isopropyl valinate;
- and mixtures thereof.

9. The composition as claimed in claim 8, wherein the amino acid ester contains a secondary functional group selected from the group consisting of OH, SH, SCH<sub>3</sub>, CONH<sub>2</sub>, NHCONH<sub>2</sub>, NH(C=NH)NH<sub>2</sub>, imidazole and extra NH<sub>2</sub> and/or COOR groups that can form intermolecular attracting forces with acidic pharmaceutical drugs.

10. The composition as claimed in claim 1, wherein the functional substance is a related amino acid.

11. The composition as claimed in claim 10, wherein the related amino acid is selected from the group consisting of creatinine, 2,3-diaminopropanoic acid; 2,3-diaminopropanoamide; 2,3-diaminopropanoic acid esters; 2,3-diaminobutanoic acid; 2,3-diaminobutanoamide; 2,3-diaminobutanoic acid esters; 2,4-diaminobutanoic acid; 2,4-diaminobutanoamide; 2,4-diaminobutanoic acid esters; 3,4-diaminobutanoic acid; 3,4-diaminobutanoamide; 3,4-diaminobutanoic acid esters; 2,3-diaminopentanoic acid; 2,3-diaminopentanoamide; 2,3-diaminopentanoic acid esters; 2,4-diaminopentanoic acid; 2,4-diaminopentanoamide; 2,4-diaminopentanoic acid esters; 2,5-diaminopentanoic acid; 2,5-diaminopentanoamide; 2,5-diaminopentanoic acid esters; N<sup>ω</sup>-methylarginine; N<sup>ω</sup>-dimethylarginine; N<sup>ω</sup>,N<sup>ω'</sup>-dimethylarginine; N<sup>T</sup>-methylhistidine; N<sup>ε</sup>-methyllysine; N<sup>ε</sup>-dimethyllysine; N<sup>ε</sup>-trimethyllysine; N<sup>ε</sup>-trimethyl-δ-hydroxylysine; δ-hydroxylysine; 2-amino-3-methylaminopropanoic acid; canaline; canavanine; 2,4-diamino-3-methylbutanoic acid; 2,3-diaminobutanoic acid; 2,4-diaminobutanoic acid; 2,4-diaminovaleric acid; 4,5 dihydroxyornithine; N<sup>G</sup>,N<sup>G</sup>-dimethylarginine; N<sup>G</sup>,N<sup>G</sup>-dimethylarginine; N<sup>6</sup>-dimethyllysine; homoarginine; 4-hydroxylysine; 5-hydroxylysine; 4-hydroxyarginine; 4-hydroxyhomoarginine; 4-hydroxyornithine; hypusine; indospicine; 2-methylarginine; N<sup>5</sup>-methylornithine; N<sup>G</sup>-methylarginine; N<sup>6</sup>-methyllysine, oxalysine, and mixtures thereof.

12. The composition as claimed in claim 11, wherein the related amino acid includes a secondary functional group selected from the group consisting of OH, SH, SCH<sub>3</sub>, CO, CONH<sub>2</sub>, NHCONH<sub>2</sub>, NH(C=NH)NH<sub>2</sub>, imidazole, pyrrole and extra NH<sub>2</sub>, COOR and/or CONH<sub>2</sub> group which can form intermolecular attracting forces with acidic pharmaceutical drugs.

13. The composition as claimed in claim 1, wherein the functional substance has a molecular weight within the range of from about 50 to about 500.

14. The composition as claimed in claim 1, wherein the functional substance is selected from the group consisting of arginine, lysine, histidine, tryptophan, ornithine, glycinamide, glycine ethyl ester, and mixtures thereof.

15. The composition as claimed in claim 1, wherein the acidic pharmaceutical drug is selected from the group consisting of acetaminophen, acetaminosalol, acetazolamide, acitretin, acrivastine, ampicillin, arbutin, azelaic acid, benzoyl peroxide, caffeic acid, chlorothiazide, chlorpropamide, ciclopirox, ciprofloxacin, cromolyn, ethacrynic acid, ferulic acid, furosemide, hydroquinone, ibuprofen, kojic acid, methotrexate, penicillamine, penicillins, pentobarbital, phenobarbital, phenytoin, perindopril, propylthiouracil, rabeprazole, retinoic acid, risedronic acid, salicylic acid, sulfacetamide, sulfabenz, sulfabenzamide, sulfabromomethazine, sulfachlorpyridazine, sulfacytine, sulfadimethoxine, sulfadoxine, sulfaguanoole, sulfalene, sulfamethizole, sulfamethoxazole, sulfapyrazine, sulfapyridine, sulfasalazine, sulfasomizole, sulfathiazole, theophylline, thioctic acid (lipoic acid), 6,8-dimercaptooctanoic acid (dihydrolipoic acid), tolbutamide, triclosan, urocanic acid, ursodiol, warfarin, and mixtures thereof.

16. The composition as claimed in claim 1, wherein the molar ratio of the acidic pharmaceutical drug to the functional substance is within the range of from about 1:0.1 to about 1:40.

17. The composition as claimed in claim 1, wherein the molar ratio of the acidic pharmaceutical drug to the functional substance is within the range of from about 1:0.5 to about 1:5.

18. The composition as claimed in claim 1, further comprising pharmaceutical and other topical agents selected from the group consisting of: those that improve or eradicate age spots, keratoses and wrinkles; local analgesics and anesthetics; antiacne agents; antibacterials; antiyeast agents; antifungal agents; antiviral agents; antidandruff agents; antidermatitis agents; antihistamine agents; antipruritic agents; antiemetics; antimotionsickness agents; antiinflammatory agents; antihyperkeratolytic agents; antiperspirants; antipsoriatic agents; antiseborrheic agents; hair conditioners and hair treatment agents; antiaging and antiwrinkle agents; sunblock and sunscreen agents; skin lightening agents; depigmenting agents; vitamins; corticosteroids; tanning agents; humectants; hormones; retinoids; gum disease or oral care agents; topical cardiovascular agents; corn, callus and wart removing agents; dipilating agents, and mixtures and combinations thereof.

19. The composition as claimed in claim 1, further comprising one or more additional agents selected from the group consisting of aclovate, acyclovir, acetylsalicylic acid, adapalene, albuterol, aluminum acetate, aluminum chloride, aluminum hydroxide, aluminum chlorohydroxide, amantadine, aminacrine, aminobenzoic acid (PABA), aminocaproic acid, aminosalicylic acid, amitriptyline, anthralin, ascorbic acid, ascoryl palimate, atropine, bacitracin, bemegride, beclomethasone dipropionate, benzophenone, betamethasone dipropionate, betamethasone valerate, brompheniramine, bupivacaine, butoconazole, calcipotriene, camphor, capsaicin, carbamide peroxide, chitosan, chlorhexidine, chloroxylenol, chlorpheniramine, clemastine, clindamycin, clioquinol, clobetasol propionate, clotrimazole, coal tar, crotamiton, cycloserine, dehydroepiandrosterone, desoximetasone, dexamethasone, diphenhydramine, doxypin, doxylamine, dyclonine, econazole, erythromycin, estradiol, ethinyl estradiol, fluocinonide, fluocinolone acetonide, 5-fluorouracil, griseofulvin, guaifenesin, haloprogin, hexylresorcinol, homosalate, hydrocortisone,

hydrocortisone 21-acetate, hydrocortisone 17-valerate, hydrocortisone 17-butyrate, hydrogen peroxide, hydroxyzine, ichthammol, imiquimod, indomethacin, ketoconazole, ketoprofen, lidocaine, meclizine, meclocycline, menthol, mepivacaine, methyl nicotinate, methyl salicylate, metronidazole, miconazole, minocycline, minoxidil, monobenzene, mupirocin, naftifine, naproxen, neomycin, nystatin, octyl methoxycinnamate, octyl salicylate, oxybenzone, oxiconazole, oxymetazoline, padimate O, permethrin, pheniramine, phenol, phenylephrine, phenylpropanolamine, piperonyl butoxide, podophyllin, podofilox, povidone iodine, pramoxine, prilocaine, procaine, promethazine propionate, propranolol, pseudoephedrine, pyrethrin, pyrilamine, resorcinol, retinal, retinol, retinyl acetate, retinyl palmitate, salicylamide, selenium sulfide, shale tar, sulconazole, sulfur, sulfadiazine, tazarotene, terbinafine, terconazole, tetracaine, tetracycline, tetrahydrozoline, thymol, tioconazole, tolnaftate, triamcinolone diacetate, triamcinolone acetonide, triamcinolone hexacetonide, triclosan, triprolidine, undecylenic acid, urea, vitamin E acetate, wood tar, zinc pyrithione, N-acetyl-prolinamide, N-acetyl-lysine, N-acetyl-omithine, N-acetyl-glucosamine, and mixtures thereof.

20. A method of forming a molecular complex between an acidic pharmaceutical drug and at least one functional substance comprising:

dissolving a salt of an acidic pharmaceutical drug in a suitable reaction medium and adding an acid to form a free acid of the pharmaceutical drug;

optionally separating the free acid of the pharmaceutical drug from the reaction medium; and

adding at least one functional substance selected from the group consisting of an alkaline amino acid, an amino acid amide, an amino



acid ester, a related alkaline amino acid, or combinations thereof, to the free acid in a suitable reaction medium to form a molecular complex.

21. The method as claimed in claim 20, wherein the free acid of the pharmaceutical drug is separated from the reaction medium.
22. The method as claimed in claim 20, wherein the reaction medium used to form the free acid of the pharmaceutical drug is water.
23. The method as claimed in claim 20, wherein the acid added to the acidic pharmaceutical drug is an inorganic acid.
24. The method as claimed in claim 20, wherein the free acid of the acidic pharmaceutical drug is formed as a precipitate or oily product that then is separated from the reaction medium.
25. The method as claimed in claim 20, wherein the reaction medium used to form the molecular complex is water, and wherein the free acid of the acidic pharmaceutical drug is suspended in the water.
26. The method as claimed in claim 25, wherein the reaction medium additionally comprises a solvent selected from the group consisting of ethanol, propylene glycol, butylene glycol, and mixtures thereof.
27. The method as claimed in claim 20, wherein the molecular complex is formed when the pH of the reaction medium has changed.
28. The method as claimed in claim 20, wherein the amount of functional substance is within the range of from about 0.1 to about 40 moles per mole of pharmaceutical drug.

29. The method as claimed in claim 28, wherein the amount of functional substance is within the range of from about 0.5 to about 5 moles per mole of pharmaceutical drug.
30. A method of treating a cosmetic condition or dermatologic indication in a subject comprising topically administering a therapeutically effective amount of the composition as claimed in claim 1 to a subject in need thereof.
31. The method as claimed in claim 30, wherein the pH of the composition is within the range of from about 2.0 to about 7.0
32. The method as claimed in claim 31, wherein the pH of the composition is within the range of from about 3.0 to about 5.0.
33. The method as claimed in claim 30, wherein the composition is in a form selected from the group consisting of lotion, cream, ointment, and gel.
34. The method as claimed in claim 33, wherein the composition additionally includes a cosmetically or dermatologically acceptable excipient.
35. The method as claimed in claim 30, wherein the method treats, heals or prevents a cosmetic condition or dermatological indication.
36. The method as claimed in claim 35, wherein the cosmetic condition or dermatological indication is selected from the group consisting of: disturbed keratinization; inflammation; defective syntheses of dermal components; changes associated with intrinsic and extrinsic aging of skin, nail and hair; dryness or looseness of skin, nail and hair; xerosis; ichthyosis; palmar and plantar hyperkeratoses; uneven and rough surface of skin, nail and hair; dandruff; Darier's disease; lichen simplex chronicus; keratoses; acne; pseudofolliculitis barbae; dermatoses; eczema; psoriasis; pruritus; warts; herpes; age spots; lentigines; melasmas; blemished skin; hyperkeratoses;

hyperpigmented or hypopigmented skin; abnormal or diminished syntheses of collagen, glycosaminoglycans, proteoglycans and elastin as well as diminished levels of such components in the dermis; stretch marks; skin lines; fine lines; wrinkles; thinning of skin, nail plate and hair; skin thickening due to elastosis of photoaging, loss or reduction of skin, nail and hair resiliency, elasticity and recoilability; lack of skin, nail and hair lubricants and luster; dull and older-looking skin, nail and hair; fragility and splitting of nail and hair, or used as to lighten the skin.